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FILE COVERS 1907 - 14 Aug 2010 VOL 153 ISS 8  
 FILE LAST UPDATED: 13 Aug 2010 (20100813/ED)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

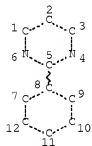
HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d stat que l24  
 L1 STR



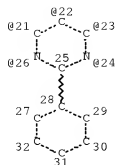
NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
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 NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE  
 L3 173813 SEA FILE=REGISTRY SSS FUL L1

L8

STR



VAR G1=5/7/9/11/16/19/CN/NO/OH/SH

VAR G2=21/22/23/24/26

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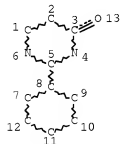
RSPEC 27 25

NUMBER OF NODES IS 30

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L16 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

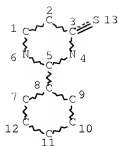
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L18 STR



NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
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 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE  
 L19 1520 SEA FILE=REGISTRY SUB=L15 SSS FUL L8 NOT (L16 OR L18)  
 L20 STR



NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 GGCAT IS MCY AT 2  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
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 NUMBER OF NODES IS 3

STEREO ATTRIBUTES: NONE  
 L21 338 SEA FILE=REGISTRY SUB=L19 SSS FUL L20  
 L22 104 SEA FILE=HCAPLUS ABB=ON PLU=ON L21  
 L23 86 SEA FILE=HCAPLUS ABB=ON PLU=ON L22 AND (AY=<2006 OR PY=<2006  
 OR PRY=<2006 OR PD=<JUNE 8, 2006)  
 L24 12 SEA FILE=HCAPLUS ABB=ON PLU=ON L23 AND (?DRUG? OR ?PHARM? OR  
 ?MEDIC? OR ?THERAP?)

=> d ibib abs hitstr 124 1-12

L24 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2006:636821 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 145:103712  
 TITLE: Preparation of pyrimidine-based inhibitors of  
 dipeptidyl peptidase IV  
 INVENTOR(S): Meng, Wei; Hamann, Lawrence G.; Brigance, Robert  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S. Pat. Appl. Publ., 31 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060142576	A1	20060629	US 2005-314795	20051221 <--
US 7589088	B2	20090915		
WO 2006071762	A2	20060706	WO 2005-US46750	20051223 <--
WO 2006071762	A3	20061123		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1831180 A2 20070912 EP 2005-855333 20051223 <--

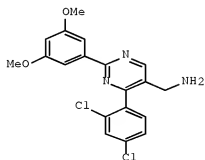
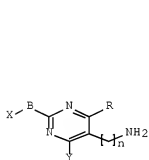
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PRIORITY APPLN. INFO.: US 2004-640110P P 20041229 <--  
 WO 2005-US46750 W 20051223 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:103712; MARPAT 145:103712

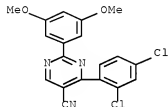
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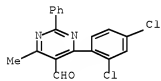
AB The title compds. I [n = 1-2; R = H, halo, CN, etc.; B = a bond, O, N, S(OM); m = 0-2; X = H, alkyl, cycloalkyl, aryl, etc.; BX taken together can be a halogen; Y = (un)substituted aryl], useful as DPP-4 inhibitors, were prepared E.g., a multistep synthesis of I, starting from 2,4-dichlorobenzoyl chloride, was given. In general, exemplified compds. I have been identified to inhibit the catalytic activity of dipeptidyl peptidase IV at concns. equivalent to, or more potently than, 10  $\mu$ M,

preferably 5  $\mu$ M, more preferably 3  $\mu$ M (no specific data given). Pharmaceutical composition comprising the compound I alone or in combination with other therapeutic agents are disclosed.

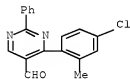
IT 895151-42-5P 895151-47-0P 895151-54-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of pyrimidine-based dipeptidyl peptidase IV inhibitors)  
 RN 895151-42-5 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-(2,4-dichlorophenyl)-2-(3,5-dimethoxyphenyl)-  
 (CA INDEX NAME)



RN 895151-47-0 HCAPLUS  
 CN 5-Pyrimidinecarboxaldehyde, 4-(2,4-dichlorophenyl)-6-methyl-2-phenyl- (CA  
 INDEX NAME)



RN 895151-54-9 HCAPLUS  
 CN 5-Pyrimidinecarboxaldehyde, 4-(4-chloro-2-methylphenyl)-2-phenyl- (CA  
 INDEX NAME)



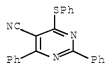
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
 (2 CITINGS)  
 REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR  
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L24 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:451367 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 142:476293

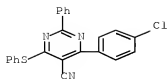
TITLE: Substituted pyrimidine compositions and methods using them for the treatment of NGFI-B-related diseases  
 INVENTOR(S): Martin, Richard; Mohan, Raju; Ordentlich, Peter  
 PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 117 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047268	A2	20050526	WO 2004-US37642	20041109 <--
WO 2005047268	A3	20050721		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20070293464	A1	20071220	US 2007-595734	20070522 <--
PRIORITY APPLN. INFO.:			US 2003-519030P	P 20031110 <--
			WO 2004-US37642	W 20041109 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 142:476293  
 AB Comps. and methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family activity.  
 IT 320418-43-7 320418-48-2 320418-49-3  
 338395-36-1  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pyrimidine derivs. for treatment of NGFI-B-related diseases)  
 RN 320418-43-7 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylthio)- (CA INDEX NAME)

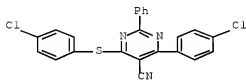


RN 320418-48-2 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



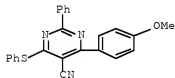
RN 320418-49-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



RN 338395-36-1 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-methoxyphenyl)-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:395283 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:463736

TITLE: Preparation of pyrimidine derivatives as IKK-2 inhibitors

INVENTOR(S): Clare, Michael; Hagen, Timothy J.; Houdek, Stephen C.; Lennon, Patrick J.; Weier, Richard M.; Xu, Xiangdong

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 214 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

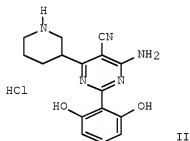
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040133	A1	20050506	WO 2004-IB3314	20041011 <--

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2542514	A1	20050506	CA 2004-2542514	20041011 <--
EP 1678146	A1	20060712	EP 2004-769607	20041011 <--
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BR 2004015678	A	20061219	BR 2004-15678	20041011 <--
JP 2007509126	T	20070412	JP 2006-536194	20041011 <--
MX 2006004498	A	20060620	MX 2006-4498	20060421 <--
PRIORITY APPLN. INFO.:			US 2003-513770P	P 20031023 <--
			WO 2004-IB3314	W 20041011 <--

OTHER SOURCE(S): CASREACT 142:463736; MARPAT 142:463736  
GI



AB Title compds. I [A = cycloalkyl, aryl, heterocycloalkyl, etc.; X = substituted aryl with substituents selected from CN, NO<sub>2</sub>, OH, etc.; R<sub>1</sub> = CN, CO<sub>2</sub>R<sub>3</sub>, CH<sub>2</sub>OR<sub>3</sub>, etc.; R<sub>2</sub> = NR<sub>4</sub>R<sub>5</sub>; R<sub>3</sub> = OH, alkoxy, alkyl, etc.; R<sub>4</sub> and R<sub>5</sub> independently = aryl, heteroaryl, haloalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of IKK-2. Thus, e.g., II was prepared in a multi-step synthesis from 2,6-dibenzoyloxybenzonitrile. The activity of I was evaluated in IKK-2 inhibition assays and it revealed IC<sub>50</sub> values for selected compds. of the invention in the range of 0.438 up to 24.4 μM. I as inhibitor of IKK-2 should prove useful in the treatment of inflammation, cancer or an inflammation-associated disorder.

IT 851382-51-9P

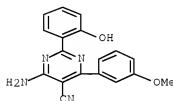


RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as IKK-2 inhibitors)

RN 851382-51-9 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-2-(2-hydroxyphenyl)-6-(3-methoxyphenyl)-  
(CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
(8 CITINGS)  
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:394829 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:463605

TITLE: Preparation aryloxyacetic acids and related compounds  
as PPAR $\delta$  and PPAR $\alpha$  agonists

INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred;  
Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki,  
Hans-Peter; Meyer, Markus; Mohr, Peter; Wright,  
Matthew Blake

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 89 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

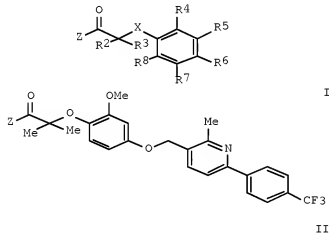
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050096337	A1	20050505	US 2004-978155	20041029 <--
US 7115611	B2	20061003		
AU 2004291262	A1	20050602	AU 2004-291262	20041028 <--
CA 2543249	A1	20050602	CA 2004-2543249	20041028 <--
WO 2005049573	A1	20050602	WO 2004-EP12217	20041028 <--
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,			

SN, TD, TG					
EP 1682508	A1	20060726	EP 2004-790987	20041028	<--
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IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR					
CN 1875002	A	20061206	CN 2004-80032273	20041028	<--
BR 2004016283	A	20070123	BR 2004-16283	20041028	<--
JP 2007509999	T	20070419	JP 2006-538711	20041028	<--
NZ 546444	A	20090925	NZ 2004-546444	20041028	<--
RU 2374230	C2	20091127	RU 2006-119510	20041028	<--
AR 46924	A1	20060104	AR 2004-104043	20041103	<--
TW 259179	B	20060801	TW 2004-93133654	20041104	<--
MX 2006004641	A	20060627	MX 2006-4641	20060426	<--
ZA 2006003531	A	20070725	ZA 2006-3531	20060503	<--
KR 2006086373	A	20060731	KR 2006-708742	20060504	<--
KR 847976	B1	20080722			
NO 2006002135	A	20060524	NO 2006-2135	20060512	<--
KR 2008042188	A	20080514	KR 2008-710674	20080502	<--
PRIORITY APPLN. INFO.:			EP 2003-104081	A	20031105 <--
			EP 2004-100759	A	20040226 <--
			WO 2004-EP12217	W	20041028 <--
			KR 2006-708742	A3	20060504 <--

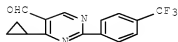
OTHER SOURCE(S): MARPAT 142:463605  
GI



AB Title compds. I [X = O, S, CH<sub>2</sub>; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = H, alkyl with provisos; R<sub>3</sub> = H, alkyl; R<sub>4</sub>, R<sub>8</sub> = H, alkyl, cycloalkyl, etc.; R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub> = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, saponification of Et ester II (Z = OEt), afforded acid II (Z = OH) as a light yellow solid. In PPAR $\alpha$  receptor binding assays, 3-examples of compds. I exhibited IC<sub>50</sub> values ranging from 0.013-0.289  $\mu$ mol/l. Compds. I are claimed to be useful for the treatment of diseases modulated by PPAR $\delta$  and PPAR $\alpha$  agonist.

IT 851507-67-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation aryloxyacetic acids and related compds. as PPAR $\delta$  and

PPAR $\alpha$  agonists)  
 RN 851507-67-0 HCAPLUS  
 CN 5-Pyrimidinecarboxaldehyde, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-  
 (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
 (3 CITINGS)  
 REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2004:189163 HCAPLUS Full-text  
 DOCUMENT NUMBER: 140:399337  
 TITLE: Aminomethylpyrimidines as novel DPP-IV inhibitors: A  
 100 000-fold activity increase by optimization of  
 aromatic substituents  
 AUTHOR(S): Peters, Jens-Uwe; Weber, Silja; Kritter, Stephane;  
 Weiss, Peter; Wallier, Angelina; Boehringer, Markus;  
 Hennig, Michael; Kuhn, Bernd; Loeffler, Bernd-Michael  
 CORPORATE SOURCE: Pharma Division, Preclinical Research, F. Hoffmann-La  
 Roche Ltd., Basel, CH-4070, Switz.  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),  
 14(6), 1491-1493  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 140:399337

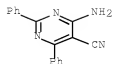
AB The influence of aromatic substitution on a newly discovered class of inhibitors of  
 dipeptidyl peptidase IV was investigated. A 100,000-fold increase in potency was  
 achieved by the optimization of aromatic substituents in a parallel chemical  
 program. The observed SAR could be explained by an x-ray structure of the protein-  
 ligand complex.

IT 20954-77-2P 115071-95-9P 475995-38-1P  
 475995-39-2P 475995-40-5P 582306-94-3P  
 582306-95-4P 582306-96-5P 582306-97-6P  
 582306-98-7P 582306-99-8P 582307-01-5P  
 761357-05-5P 870452-74-7P 870452-77-0P  
 870452-90-7P 870452-93-0P 870452-97-4P  
 870454-17-4P 870455-34-8P 870455-77-9P  
 870456-89-6P 870456-91-0P 870457-11-7P  
 870457-13-9P 870457-26-4P 870457-52-6P  
 870457-86-6P 870458-05-2P 870458-54-1P  
 870458-83-6P 870458-84-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

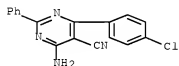
(synthesis and activity of aminomethylpyrimidines as novel DPP-IV  
 inhibitors)

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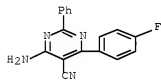
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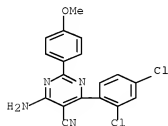
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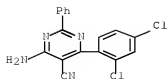
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CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(4-methoxyphenyl)- (CA INDEX NAME)

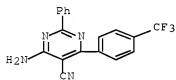


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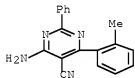
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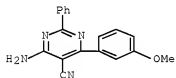
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(CA INDEX NAME)

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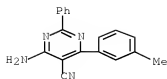
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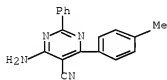
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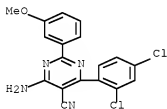
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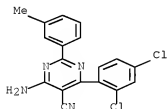
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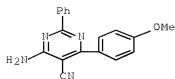
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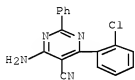
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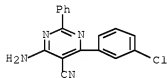
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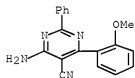
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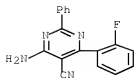
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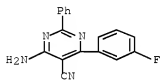
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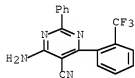
RN 870452-93-0 HCAPLUS  
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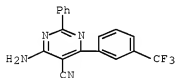
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RN 870454-17-4 HCAPLUS  
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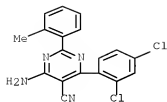


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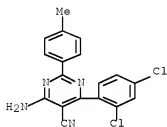
RN 870455-77-9 HCAPLUS  
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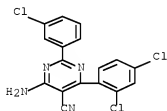
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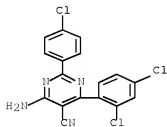
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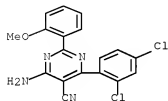
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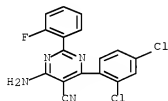
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CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(2-methoxyphenyl)- (CA INDEX NAME)



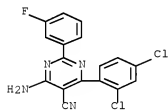
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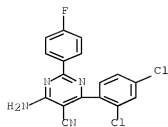
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CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(3-fluorophenyl)- (CA INDEX NAME)



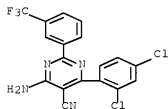
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CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(4-fluorophenyl)- (CA INDEX NAME)



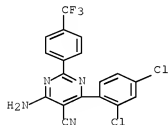
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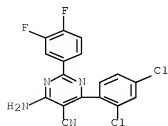
RN 870458-54-1 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

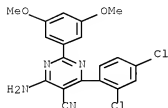


RN 870458-83-6 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(3,4-difluorophenyl)- (CA INDEX NAME)



RN 870458-84-7 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(3,5-dimethoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 31 THERE ARE 31 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)  
 REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:202645 HCAPLUS Full-text  
 DOCUMENT NUMBER: 138:238195  
 TITLE: Preparation of glycine-substituted thieno[2,3-d]pyrimidines with combined LH and FSH agonistic activity  
 INVENTOR(S): Hanssen, Robert Gerard Jules Marie; Timmers, Cornelis Marius; Kelder, Jan  
 PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.  
 SOURCE: PCT Int. Appl., 58 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020727	A1	20030313	WO 2002-EP9648	20020829 <--
W:	AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, RO, RU, SG, SI, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2457212	A1	20030313	CA 2002-2457212	20020829 <--
AU 2002333750	A1	20030318	AU 2002-333750	20020829 <--
AU 2002333750	B2	20080221		
EP 1427734	A1	20040616	EP 2002-797646	20020829 <--
EP 1427734	B1	20051109		
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BR 2002012173	A	20040720	BR 2002-12173	20020829 <--
HU 2004001443	A2	20041129	HU 2004-1443	20020829 <--

HU 2004001443	A3	20080528			
CN 1551883	A	20041201	CN 2002-817240	20020829	<--
CN 1261437	C	20060628			
JP 2005504784	T	20050217	JP 2003-524997	20020829	<--
JP 4263094	B2	20090513			
NZ 531375	A	20050624	NZ 2002-531375	20020829	<--
AT 309251	T	20051115	AT 2002-797646	20020829	<--
ES 2252540	T3	20060516	ES 2002-797646	20020829	<--
RU 2294331	C2	20070227	RU 2004-110036	20020829	<--
IL 160194	A	20090615	IL 2002-160194	20020829	<--
ZA 2004001459	A	20041122	ZA 2004-1459	20040223	<--
HR 2004000194	A2	20040831	HR 2004-194	20040226	<--
US 20040180907	A1	20040916	US 2004-488483	20040226	<--
US 7375109	B2	20080520			
KR 891630	B1	20090403	KR 2004-703096	20040302	<--
MX 2004002046	A	20040716	MX 2004-2046	20040303	<--
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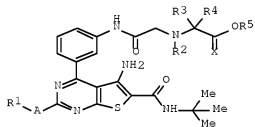
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EP 2001-203328      A  20010904  <--
WO 2002-EP9648      W  20020829  <--
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:238195

GI



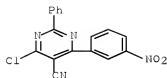
I

AB The title compds. I; X = 0 or H,H; A = S, NH, NR<sub>6</sub>, O, a bond; R<sub>1</sub> = alkyl, alkenyl, (un)substituted Ph, heteroaryl; R<sub>2</sub> = H, alkyl, alkoxyalkyl, hydroxyalkyl; R<sub>3</sub>, R<sub>4</sub> = H, alkyl, hydroxyalkyl; R<sub>5</sub> = H, alkyl; R<sub>6</sub> can be selected from the same groups as described for R<sub>1</sub> which have LH as well as FSH receptor activating activity and can be used in fertility regulating therapies, were prepared. E.g., a 9-step synthesis of I.TFA [X = H,H; A = S; R<sub>1</sub>, R<sub>2</sub> = Me; R<sub>3</sub>-R<sub>5</sub> = H], starting from S-methylisothiouraea sulfate, 3-nitrobenzaldehyde and Et cyanoacetate, was given. All twenty-six exemplified compds. I were tested for LH/FSH in vitro and in vivo bioactivity and data were given.

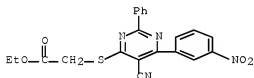
IT 405891-46-5P, 6-Chloro-5-cyano-4-(3-nitrophenyl)-2-phenylpyrimidine 405891-47-6P, 5-Cyano-4-(3-nitrophenyl)-2-phenyl-6-(ethoxycarbonylmethylthio)pyrimidine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of glycine-substituted thieno[2,3-d]pyrimidines with combined LH and FSH agonistic activity)

RN 405891-46-5 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-chloro-6-(3-nitrophenyl)-2-phenyl- (CA INDEX NAME)



RN 405891-47-6 HCAPLUS  
 CN Acetic acid, 2-[[5-cyano-6-(3-nitrophenyl)-2-phenyl-4-pyrimidinyl]thio]-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:174482 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 138:198678  
 TITLE: Small-molecule modulators of hepatocyte growth factor/scatter factor activities as drugs  
 INVENTOR(S): Pillarisetti, Sivaram; Goldberg, Itzhak D.  
 PATENT ASSIGNEE(S): North Shore-Long Island Jewish Health System, USA  
 SOURCE: U.S. Pat. Appl. Publ., 37 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030045559	A1	20030306	US 2001-896832	20010629 <--
US 6589997	B2	20030708		
US 20030022924	A1	20030130	US 2001-26672	20011219 <--
US 6610726	B2	20030826		
US 20030216459	A1	20031120	US 2003-456326	20030606 <--
US 6855728	B2	20050215		
US 20050096372	A1	20050505	US 2004-7333	20041208 <--
PRIORITY APPLN. INFO.:			US 2001-896832	A2 20010629 <--
			US 2003-456326	A3 20030606 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:198678

AB The invention is directed to small organic mols. having the ability to mimic or agonize hepatocyte growth factor/scatter factor (HGF/SF) activity, or inhibit or

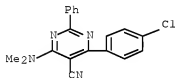
antagonize HGF/SF activity, the former useful for promoting, for example, vascularization of tissues or organs for promoting wound or tissue healing, or augmenting or restoring blood flow to ischemic tissues such as the heart following myocardial infarction. Inhibition of cellular growth or proliferation is beneficial in the treatment, for example, of inflammatory diseases such as inflammatory joint and skin diseases, and dysproliferative diseases such as cancer. Pharmaceutical compns. containing the modulators are also claimed.

IT 320417-50-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(small-mol. modulators of hepatocyte growth factor/scatter factor  
activities as drugs)

RN 320417-50-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-(dimethylamino)-2-phenyl-  
(CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L24 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:31482 HCAPLUS Full-text

DOCUMENT NUMBER: 136:79802

TITLE: Modulators of cellular proliferation and angiogenesis,  
methods for use and identification thereof

INVENTOR(S): Pillarisetti, Sivaram; Goldberg, Itzhak D.

PATENT ASSIGNEE(S): North Shore-Long Island Jewish Health System, USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002593	A2	20020110	WO 2001-US20849	20010629 <--
WO 2002002593	A3	20030807		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2452445	A1	20020110	CA 2001-2452445	20010629 <--
EP 1355921	A2	20031029	EP 2001-955795	20010629 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, CY, TR

JP 2005520480 T 20050714 JP 2002-507845 20010629 <--  
AU 2001277854 B2 20070301 AU 2001-277854 20010629 <--  
PRIORITY APPLN. INFO.: US 2000-606628 A2 20000629 <--  
US 2001-267170P P 20010315 <--  
US 2001-1 A 20010315 <--  
WO 2001-US02849 W 20010629 <--

OTHER SOURCE(S): MARPAT 136:79802

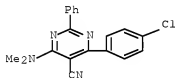
AB The invention is directed to small organic mols. and peptides having the ability to mimic or agonize hepatocyte growth factor/ scatter factor (HGF/SF) activity, or inhibit or antagonize HGF/SF activity, the former useful for promoting, for example, vascularization of tissues or organs for promoting wound or tissue healing, or augmenting or restoring blood flow to ischemic tissues such as the heart following myocardial infarction. Inhibition of cellular growth or proliferation is beneficial in the treatment, for example, of inflammatory diseases such as inflammatory joint and skin diseases, and dysproliferative diseases such as cancer.

IT 320417-50-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(peptide and small-mol. modulators of cellular proliferation and  
angiogenesis)

RN 320417-50-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-(dimethylamino)-2-phenyl-  
(CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 1995:867635 HCAPLUS Full-text

DOCUMENT NUMBER: 123:286068

ORIGINAL REFERENCE NO.: 123:51267a,51270a

TITLE: Preparation of pyrimidine derivatives

INVENTOR(S): Okada, Tetsuo; Konoike, Toshiro

PATENT ASSIGNEE(S): Shionogi Seiyaku Kk, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07118233	A	19950509	JP 1993-261365	19931019 <--
JP 3400038	B2	20030428		



PRIORITY APPLN. INFO.: JP 1993-261365 19931019 <--  
 OTHER SOURCE(S): CASREACT 123:286068; MARPAT 123:286068  
 GI

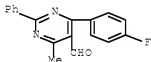
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Pyrimidine derivs. I [R1 = (un)substituted alkyl, etc.; R2, R3 = H, (un)substituted alkyl, etc.; R4 = H, carboxy-protecting group; R5 = H, hydroxy-protecting group; X = N, etc.], useful as pharmaceutical intermediates, are prepared from pyrimidinecarboxaldehydes. Thus, a mixture of pyrimidine derivative II, phosphonate III (TBDMS = tert-butyldimethylsilyl), and potassium tert-butoxide in acetonitrile was stirred at room temperature for 30 min to give, after workup, 74% pyrimidine derivative IV.

IT 122930-36-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of pyrimidine derivs.)

RN 122930-36-3 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 4-(4-fluorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
 (6 CITINGS)

L24 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:553830 HCAPLUS Full-text

DOCUMENT NUMBER: 111:153830

ORIGINAL REFERENCE NO.: 111:25661a,25664a

TITLE: Pyrimidine-type mevalonolactones and related compounds, antihyperlipemic agents containing them, and their use

INVENTOR(S): Fujikawa, Yoshihiro; Iwasaki, Hiroshi; Suzuki, Mikio; Sakashita, Mitsunaki; Kitahara, Masaki

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 63 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 308736	A2	19890329	EP 1988-114705	19880908 <--
EP 308736	A3	19900214		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01294665	A	19891128	JP 1988-203381	19880816 <--
US 5026708	A	19910625	US 1988-243468	19880912 <--

WO 9010624	A1	19900920	WO 1989-JP250	19890308 <--
W: AU, DK, FI, HU, NO				
AU 8932127	A	19901009	AU 1989-32127	19890308 <--
PRIORITY APPLN. INFO.:			JP 1987-229357	A 19870912 <--
			JP 1988-14027	A 19880125 <--
			JP 1988-142695	A 19880611 <--
			JP 1988-203381	A 19880816 <--
			WO 1989-JP250	A 19890308 <--

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 111:153830

GI For diagram(s), see printed CA Issue.

AB Title compds. I [R1 = (un)substituted Ph; R2 = H, alkyl, alkenyl, cycloalkyl, (un)substituted Ph, Ph(CH<sub>2</sub>)<sub>m</sub> (m = 1-3), (CH<sub>2</sub>)<sub>n</sub>CHMePh or Ph(CH<sub>2</sub>)<sub>n</sub>CHMe (n = 0-2); R3 = alkyl, cycloalkyl, naphthyl, pyridyl, (un)substituted Ph, alkyl substituted by naphthyl or (un)substituted Ph and by 0-2 alkyl; Y = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CH:CH, CH<sub>2</sub>CH:CH, CH:CHCH<sub>2</sub>; Z = XCH<sub>2</sub>WCH<sub>2</sub>CO<sub>2</sub>R<sub>4</sub>, Q1-Q3; X = CO, C(OR)<sub>2</sub>, CH(OH); W = CO, C(OR)<sub>2</sub>, CR<sub>5</sub>(OH); R<sub>4</sub> = H, physiol. hydrolyzable alkyl, NH<sub>4</sub>, Na, K, 1/2 Ca, (di- or tri)alkylammonium; R<sub>5</sub>-R<sub>7</sub> = H, alkyl; R<sub>8</sub> = primary or secondary alkyl; (R<sub>8</sub>)<sub>2</sub> = (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>], useful as antihyperlipemics, are prepared p-FC<sub>6</sub>H<sub>4</sub>CHO was condensed with MeCOCH<sub>2</sub>CO<sub>2</sub>Et (85.2%) and then with PhC(:NH)NH<sub>2</sub>.HCl (85.0%) and the product aromatized by KMnO<sub>4</sub> in Me<sub>2</sub>CO (32.4%) to give Et 4-(4-fluorophenyl)-6-methyl-2-phenylpyrimidine-5-carboxylate. This underwent reduction by Dibal to the alc. (90.5%), reoxidn. to the aldehyde (53.9%), Wittig reaction with (EtO)P(O)CH<sub>2</sub>CO<sub>2</sub>Et, (93.9%), Dibal reduction (95.7%), reoxidn. with MnO<sub>2</sub> (59.4%), condensation with MeCOCH<sub>2</sub>CO<sub>2</sub>Et using NaH (22.6%), and NaBH<sub>4</sub> reduction (43.3%) to give ester II. Soft capsules (100) were prepared from I 1.00, PEG-400 3.89, saturated fatty acid triglyceride 15.00, peppermint oil 0.01, and Polysorbate-80 0.10 g. I were more active than both mevinolin and CS-514 in an in vitro test of cholesterol biosynthesis inhibition, and more active than the latter in cell culture and in vivo tests.

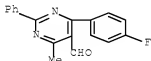
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	122930-76-1P	122930-77-2P	122930-78-3P
	122930-80-7P	122930-81-8P	122930-82-9P
	122930-83-0P	122930-84-1P	

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of antihyperlipidemic pyrimidine-containing mevalanolactones)

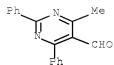
RN 122930-36-3 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 4-(4-fluorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



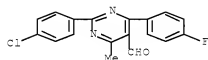
RN 122930-70-5 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 4-methyl-2,6-diphenyl- (CA INDEX NAME)



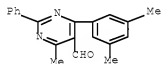
RN 122930-71-6 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 2-(4-chlorophenyl)-4-(4-fluorophenyl)-6-methyl-  
(CA INDEX NAME)



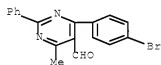
RN 122930-72-7 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 4-(3,5-dimethylphenyl)-6-methyl-2-phenyl- (CA  
INDEX NAME)



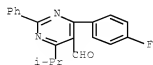
RN 122930-74-9 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 4-(4-bromophenyl)-6-methyl-2-phenyl- (CA  
INDEX NAME)



RN 122930-75-0 HCAPLUS

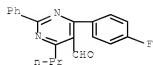
CN 5-Pyrimidinecarboxaldehyde, 4-(4-fluorophenyl)-6-(1-methylethyl)-2-phenyl-  
(CA INDEX NAME)



RN 122930-76-1 HCAPLUS

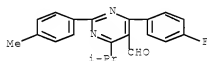
CN 5-Pyrimidinecarboxaldehyde, 4-(4-fluorophenyl)-2-phenyl-6-propyl- (CA

INDEX NAME)



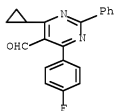
RN 122930-77-2 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 4-(4-fluorophenyl)-6-(1-methylethyl)-2-(4-methylphenyl)- (CA INDEX NAME)



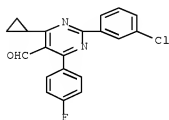
RN 122930-78-3 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 4-cyclopropyl-6-(4-fluorophenyl)-2-phenyl- (CA INDEX NAME)



RN 122930-80-7 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 2-(3-chlorophenyl)-4-cyclopropyl-6-(4-fluorophenyl)- (CA INDEX NAME)



RN 122930-81-8 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 4-cyclopropyl-6-(4-fluorophenyl)-2-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L24 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1970:21673 HCAPLUS Full-text  
DOCUMENT NUMBER: 72:21673  
ORIGINAL REFERENCE NO.: 72:3965a,3968a  
TITLE: 2,5,6-Triphenyl-4-hydrazino-pyrimidine and its derivatives  
AUTHOR(S): Giammanco, Lorenzo  
SOURCE: Atti della Accademia di Scienze, Lettere e Arti di Palermo, Parte 1: Scienze (1968), Volume Date 1966-1967, 27, 449-63  
CODEN: AASLAN; ISSN: 0365-0448  
DOCUMENT TYPE: Journal  
LANGUAGE: Italian

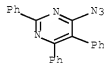
GI For diagram(s), see printed CA Issue.

AB 2,5,6-Triphenyl-4-oxypyrimidine (2 g) is refluxed 1 hr with 5 g of PCl5 and the oil crystallizes spontaneously to furnish I (X = Cl) (Ia), m. 140-2°. Ia (1.71 g) is suspended in 30 ml EtOH, 0.36 g anhydrous hydrazine is added and the solution is refluxed 3 hr to give I (X = NHNH2) (Ib), m. 200-2°. Ib (1 g) is dissolved in a cool solution of 10 ml pyridine and 10 ml Ac2O. The solution is left at room temperature 3 days to give I (X = NHNHAc), m. 75-8°. Ib (0.35 g) is dissolved in 10 ml pyridine, a few drops of BzCl added and the solution refluxed 4 hr to give I (X = NHNHbz), m. 180-2°. Ia (1.75 g) and 0.7 g isoniazid in 20 ml pyridine refluxed 40 min gives I (X = isonicotinoylhydrazinyl), m. 195°. Ib is boiled with RNCS to give the following I (R = Ph, X = NHNHCNSHR'; R' and m.p. given): Ph, 194°; Bu, 230°; CH2:CHCH2, 233-5°; Et, 243-5°. Ib (0.5 g) is dissolved in 10 ml 20% KOH and 10 ml EtOH and then 0.5 g of CS2 is added. The solution is shaken at room temperature, and after 12-13 hr and work up II, m. 195°, is obtained. KNO3 (3 g) added to 1 g Ib dissolved in 10 ml HOAc gave III, m. 137-40°. The compds. were prepared to study the pharmacol. importance of the substitution of various radicals in position 4 of the pyrimidine ring.

IT 21877-78-1P, Pyrimidine, 4-azido-2,5,6-triphenyl-  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 21877-78-1 HCAPLUS

CN Pyrimidine, 4-azido-2,5,6-triphenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L24 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1969:57761 HCAPLUS Full-text  
DOCUMENT NUMBER: 70:57761  
ORIGINAL REFERENCE NO.: 70:10848h,10849a  
TITLE: Syntheses of heterocycles with thioacylmalononitriles  
AUTHOR(S): Hartke, K.; Peshkar, L.

CORPORATE SOURCE: Univ. Marburg, Marburg-Lahn, Fed. Rep. Ger.  
 SOURCE: Pharmazeutische Zentralhalle (1968), 107(5), 348-55  
 CODEN: PHZEBE; ISSN: 0369-9781

DOCUMENT TYPE: Journal

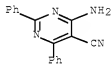
LANGUAGE: German

AB Malononitrile was condensed with dithio and thionic acid esters of aromatic carboxylic acids to give salts of thioacylmalonic acid derivs., which can be converted to thioenol ethers. The last 2 compds. are important intermediates for a pharmaceutically interesting ring structure. Thus, 1.4 g. Me(NaS)C:C(CN)<sub>2</sub> (Ia) was dissolved in 10 ml. absolute EtOH, treated with 1.23 g. Et chloroacetate and 1 g. Me<sub>3</sub>N for 30 min. under reflux, the solvent evaporated, and some water added to crystallize 1.72 g. 3-amino-5-methyl-2-ethoxycarbonyl-4-thiophenecarbonitrile, m. 140° (EtOH). Similarly prepared was 3-amino-5-methyl-2-benzoyl- (m. 153°) and 3-amino-5-methyl-2-cyano-4-thiophenecarbonitrile (m. 221°). In an analogous manner 3-amino-5-phenyl-2-acetyl-4-thiophenecarbonitrile, m. 171°, was obtained from Ph(HS)C:C(CN)<sub>2</sub>. To 1.38 g. Me(MeS)C:C(CN)<sub>2</sub> (I) in 10 ml. Et<sub>2</sub>O was added 0.46 g. MeNHNH<sub>2</sub> to give after evaporation and recrystn. 1.25 g. 3-amino-1,5-dimethyl-4-pyrazolecarbonitrile, m. 131°. Similarly prepared were 3-amino-1-methyl-5-phenyl- (m. 158°); 5-amino-3-methyl- (m. 162°), 5-amino-1-phenyl-3-methyl- (m. 128°), and 5-amino-3-phenyl-4-pyrazolecarbonitrile (m. 201°). Also prepared was 5-amino-3-methyl-4-isoxazolecarbonitrile, m. 220° (EtOH/H<sub>2</sub>O). To 0.23 g. Na in 10 ml. absolute EtOH was added 0.96 g. guanidine-HCl, the precipitated NaCl filtered off, and 1.38 g. I added to the filtrate. The resultant 1.2 g. yellow crystals were filtered off and recrystd. to give 2,4-diamino-6-methyl-5-pyrimidinecarbonitrile, m. 292° (H<sub>2</sub>O). Similarly prepared were 4-amino-6-methyl-2-phenyl- (m. 186-7°), 4-amino-2,6-diphenyl- (m. 214.5°), and 4-amino-2-phenyl-6-benzyl-5-pyrimidinecarbo-nitrile (m. 187°). To 9.66 g. I in 100 ml. CHCl<sub>3</sub> was added dropwise with stirring at room temperature within 30 min. 19 g. SO<sub>2</sub>Cl<sub>2</sub>. After an addnl. hr. the mixture was concentrated under vacuum and the residue fractionated to give 6.3 g. ClMeC:C(CN)<sub>2</sub> (II), b<sub>0.11</sub> 83°. The II was converted to Me(EtO)C:C(CN)<sub>2</sub>, m. 88°. Treating Me(HS)C:C(CN)<sub>2</sub> with COCl<sub>2</sub> gave [(NC)C(CMe)]<sub>2</sub>S, m. 82°.

IT 20954-77-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

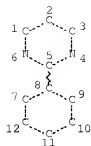
RN 20954-77-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-2,6-diphenyl- (CA INDEX NAME)



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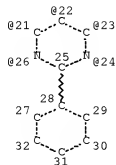
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
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 NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE  
 L3 173813 SEA FILE=REGISTRY SSS FUL L1  
 L8 STR



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 VAR G2=21/22/23/24/26

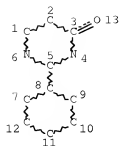
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STEREO ATTRIBUTES: NONE  
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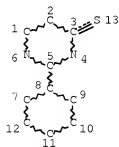
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NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE  
 L18 STR



NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
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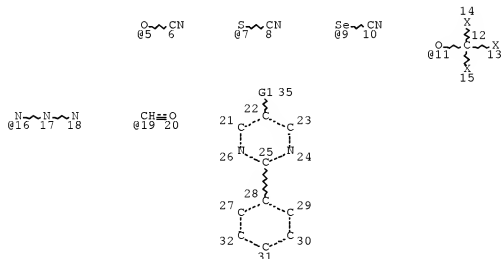


NODE ATTRIBUTES:  
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NUMBER OF NODES IS 3

STEREO ATTRIBUTES: NONE

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L22 104 SEA FILE=HCAPLUS ABB=ON PLU=ON L21  
L23 86 SEA FILE=HCAPLUS ABB=ON PLU=ON L22 AND (AY=<2006 OR PY=<2006  
OR PRY=<2006 OR PD=<JUNE 8, 2006)  
L24 12 SEA FILE=HCAPLUS ABB=ON PLU=ON L23 AND (?DRUG? OR ?PHARM? OR  
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L25 STR



VAR G1=5/7/9/11/16/19/CN/NO/OH/SH

NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC 27 25  
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

L26 309 SEA FILE=REGISTRY SUB=L21 SSS FUL L25  
L27 95 SEA FILE=HCAPLUS ABB=ON PLU=ON L26  
L28 77 SEA FILE=HCAPLUS ABB=ON PLU=ON L27 AND (AY=<2006 OR PY=<2006  
OR PRY=<2006 OR PD=<JUNE 8, 2006)  
L29 66 SEA FILE=HCAPLUS ABB=ON PLU=ON L28 NOT L24  
L30 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L29 AND THU/RL

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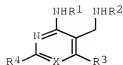
L30 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:656755 HCAPLUS [Full-text](#)  
DOCUMENT NUMBER: 139:197497  
TITLE: Preparation of novel pyridines and pyrimidines as DPP

INVENTOR(S): IV inhibitors  
Boehringer, Markus; Loeffler, Bernd Michael; Peters,  
Jens-Uwe; Steger, Matthias; Weiss, Peter  
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
SOURCE: PCT Int. Appl., 73 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

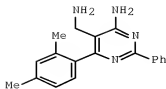
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068757	A1	20030821	WO 2003-EP1107	20030205 <--
WO 2003068757	A9	20041007		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2474578	A1	20030821	CA 2003-2474578	20030205 <--
CA 2474578	C	20090825		
AU 2003206833	A1	20030904	AU 2003-206833	20030205 <--
AU 2003206833	B2	20060720		
EP 1476435	A1	20041117	EP 2003-704536	20030205 <--
EP 1476435	B1	20100630		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003007665	A	20050104	BR 2003-7665	20030205 <--
CN 1630644	A	20050622	CN 2003-803774	20030205 <--
CN 1324015	C	20070704		
JP 2005526035	T	20050902	JP 2003-567888	20030205 <--
JP 4359146	B2	20091104		
RU 2293731	C2	20070220	RU 2004-127576	20030205 <--
AT 472536	T	20100715	AT 2003-704536	20030205 <--
US 20030216382	A1	20031120	US 2003-361268	20030210 <--
US 6867205	B2	20050315		
MX 2004007744	A	20041015	MX 2004-7744	20040810 <--
US 20050143405	A1	20050630	US 2005-37989	20050118 <--
US 7022718	B2	20060404		
PRIORITY APPLN. INFO.:			EP 2002-3114	A 20020213 <--
			WO 2003-EP1107	W 20030205 <--
			US 2003-361268	A3 20030210 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:197497  
GI



I



II

AB The title compds. [I; X = N, CR5; R1, R2 = H, alkyl; R3 = (un)substituted heterocyclyl or aryl; R4 = alkyl, alkoxy, alkylthio, etc.; R5 = H, alkyl], useful for the treatment and/or prophylaxis of diseases which are associated with DPP IV, such as diabetes, particularly non-insulin dependent diabetes mellitus, and impaired glucose tolerance, were prepared and formulated. Thus, reacting benzamidine with 2-(2,4-dimethylbenzylidene)malononitrile in the presence of K2CO3 in MeOH followed by treating the reaction residue with KMnO4 in Me2CO, and reduction of the resulting nitrile with LiAlH4 in THF afforded 7% II which showed IC50 of 0.172  $\mu$ M against DPP IV.

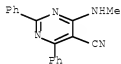
IT 65112-63-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of novel pyridine and pyrimidine derivs. as DPP IV inhibitors)

RN 65112-63-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(methylamino)-2,6-diphenyl- (CA INDEX NAME)



IT 475995-40-5P, 4-Amino-6-(2,4-dichlorophenyl)-2-phenylpyrimidine-

5-carbonitrile 582306-94-3P 582306-95-4P

582306-96-5P 582306-97-6P 582306-98-7P

582306-99-8P 582307-00-4P 582307-01-5P

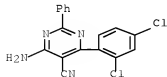
582307-02-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel pyridine and pyrimidine derivs. as DPP IV inhibitors)

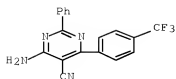
RN 475995-40-5 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-phenyl- (CA INDEX NAME)

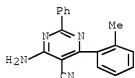


RN 582306-94-3 HCAPLUS

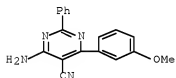
CN 5-Pyrimidinecarbonitrile, 4-amino-2-phenyl-6-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



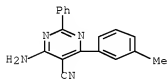
RN 582306-95-4 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2-methylphenyl)-2-phenyl- (CA INDEX NAME)



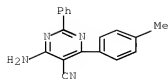
RN 582306-96-5 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-amino-6-(3-methoxyphenyl)-2-phenyl- (CA INDEX NAME)



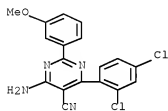
RN 582306-97-6 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-amino-6-(3-methylphenyl)-2-phenyl- (CA INDEX NAME)



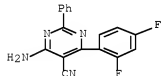
RN 582306-98-7 HCAPLUS  
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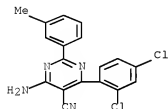
RN 582306-99-8 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(3-methoxyphenyl)- (CA INDEX NAME)



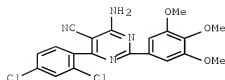
RN 582307-00-4 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-difluorophenyl)-2-phenyl- (CA INDEX NAME)



RN 582307-01-5 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(3-methylphenyl)- (CA INDEX NAME)



RN 582307-02-6 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD  
(13 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:240780 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:279442

TITLE: Preparation of thienopyrimidinecarboxamides,  
quinazolinecarboxamides, and related compounds as  
luteinizing hormone agonists.

INVENTOR(S): Timmers, Cornelis Marius; Karstens, Willem Frederik  
Johan

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024703	A1	20020328	WO 2001-EP10743	20010917 <--
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422054	A1	20020328	CA 2001-2422054	20010917 <--
AU 2002013929	A	20020402	AU 2002-13929	20010917 <--
EP 1322651	A1	20030702	EP 2001-982306	20010917 <--
EP 1322651	B1	20080123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013987	A	20030812	BR 2001-13987	20010917 <--
HU 2003002648	A2	20031128	HU 2003-2648	20010917 <--
HU 2003002648	A3	20041129		
NZ 524444	A	20040326	NZ 2001-524444	20010917 <--
JP 2004509896	T	20040402	JP 2002-529113	20010917 <--
RU 2271360	C2	20060310	RU 2003-111463	20010917 <--
CN 1247594	C	20060329	CN 2001-816067	20010917 <--
AU 2002213929	B2	20060413	AU 2002-213929	20010917 <--
CZ 297136	B6	20060913	CZ 2003-828	20010917 <--
EP 1886999	A2	20080213	EP 2007-113490	20010917 <--
EP 1886999	A3	20080312		
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, LV,				

MC, NL, PT, SE, TR

AT 384726	T	20080215	AT 2001-982306	20010917 <--
PT 1322651	E	20080228	PT 2001-982306	20010917 <--
ES 2299524	T3	20080601	ES 2001-982306	20010917 <--
IL 154624	A	20090901	IL 2001-154624	20010917 <--
TW 290143	B	20071121	TW 2001-90123098	20010919 <--
ZA 2003001588	A	20040622	ZA 2003-1588	20030226 <--
IN 2003CN00394	A	20050408	IN 2003-CN394	20030313 <--
IN 205993	A1	20070629		
MX 2003002478	A	20040505	MX 2003-2478	20030320 <--
NO 2003001314	A	20030321	NO 2003-1314	20030321 <--
NO 328683	B1	20100426		
HR 2003000220	A2	20030630	HR 2003-220	20030321 <--
US 20030225113	A1	20031204	US 2003-381248	20030321 <--
US 7229990	B2	20070612		
KR 860040	B1	20080925	KR 2003-704132	20030321 <--
HK 1054942	A1	20080403	HK 2003-107319	20031013 <--
US 20070197527	A1	20070823	US 2007-788886	20070423 <--
US 7618963	B2	20091117		

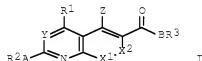
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EP 2000-203287	A	20000922 <--
EP 2001-982306	A3	20010917 <--
WO 2001-EP10743	W	20010917 <--
US 2003-381248	A1	20030321 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:279442

GI



AB Title compds. [I; R1 = (substituted) cycloalkyl, heterocycloalkyl, aryl, heteroaryl; R2 = alkyl, alkenyl, alkynyl, aryl, heteroaryl; R3 = alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = CH, N; Z = NH2, OH; A = S, NH, NR, O, bond; B = NH, O, bond; X1-X2 = C=C, CONH, CO2, C=N, S, O], were prepared. Thus, S-methylisothiourea sulfate, 3-MeOC6H4CHO, EtO2CCH2CN, and K2CO3 were stirred 5 h at 60° in EtOH to give 5-cyano-4-(3-methoxyphenyl)-2-methylthio-6-hydroxypyrimidine. This was stirred with POC13 and PhNMe2 in dioxane at 80° for 3 h to give 6-chloro-5-cyano-4-(3-methoxyphenyl)-2-methylthiopyrimidine. The latter was stirred with KOCMe3 and EtO2CCH2SH in THF for 1 h to give Et 5-amino-4-(3-methoxyphenyl)-2-methylthiothieno[2,3-d]pyrimidine-6-carboxylate. This was converted to title compound tert-Bu 5-amino-2-methylthio-4-(3-methoxycarbonyloxy)phenylthieno[2,3-d]pyrimidine-6-carboxamide in several steps. Several I stimulated human LH receptors in CHO cells with IC50 = 10<sup>-7</sup> to 10<sup>-8</sup> M.

IT 405891-46-5P 405891-47-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

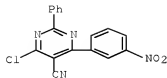
(preparation of thienopyrimidinecarboxamides, quinazolinecarboxamides, and related compds. as LH agonists)

RN 405891-46-5 HCAPLUS

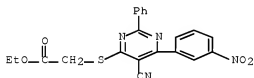
CN 5-Pyrimidinecarbonitrile, 4-chloro-6-(3-nitrophenyl)-2-phenyl- (CA INDEX



NAME)



RN 405891-47-6 HCAPLUS  
 CN Acetic acid, 2-[[5-cyano-6-(3-nitrophenyl)-2-phenyl-4-pyrimidinyl]thio]-,  
 ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
 (3 CITINGS)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2010 ACS on SIN

ACCESSION NUMBER: 1989:135258 HCAPLUS Full-text

DOCUMENT NUMBER: 110:135258

ORIGINAL REFERENCE NO.: 110:22338h,22339a

TITLE: Preparation of  
 (2,6-disubstituted-5-cyano-4-pyrimidinyl)oxy)acetic  
 acid as aldose reductase inhibitors

INVENTOR(S): Bagli, Jehan F.; Ellingboe, John W.; Alessi, Thomas R.

PATENT ASSIGNEE(S): American Home Products Corp., USA

SOURCE: U.S., 9 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

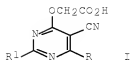
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4786640	A	19881122	US 1987-62734	19870612 <--
US 4906753	A	19900306	US 1988-221586	19880720 <--
			US 1987-62734	A3 19870612 <--

PRIORITY APPLN. INFO.:

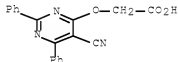
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 110:135258; MARPAT 110:135258

GI



- AB The title compds. [I; R1 = C1-6 alkyl, C3-6 cycloalkyl, (halo-substituted) Ph, phenylmethyl, (halo-substituted) naphthalenyl, thienyl; R = SR2 (wherein R2 = C1-6 alkyl, C4-7 cycloalkylmethyl, phenylmethyl optionally substituted by halo), C1-4 alkyl, Ph, 1-naphthalenylmethyl], useful as aldose reductase inhibitors, were prepared To a cooled (0°), stirred suspension of NaH in DMF was added a solution of 0.025 mol Me3CC((NH)OMe).HCl (prepared from Me3CCN, AcCl, and MeOH). The mixture was stirred at room temperature for 1 h and then cooled to 0°. A solution of 0.022 mol 3,3-bis(cyclohexylmethylthio)-2-cyano-2-propenoate [prepared from (KS)2C:C(CN)CO2Me and (bromomethyl)cyclohexane was added dropwise. The resulting mixture was stirred 1 h at room temperature overnight to give 71% 4-[(cyclohexylmethylthio)-1,6-dihydro-2-(1,1-dimethylethyl)-6-oxo-5-pyrimidinecarbonitrile which was alkylated by BrCH2CO2CMe3 in DMF containing NaH to give 92% tert-Bu [[5-cyano-6-[(cyclohexylmethylthio)-2-(tert-butyl)-4-pyrimidinyl]oxy]acetate. Treatment of the latter with CF3CO2H gave 40% I [R = (cyclohexylmethylthio), R1 = Me3C] (II) which at 10-5M inhibited 97% aldose reductase in vitro.
- IT 119491-88-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as aldose reductase inhibitor)
- RN 119491-88-2 HCAPLUS  
 CN Acetic acid, 2-[(5-cyano-2,6-diphenyl-4-pyrimidinyl)oxy]- (CA INDEX NAME)

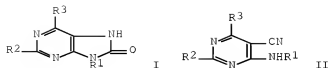


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

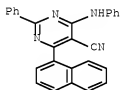
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2010 ACS ON STN  
 ACCESSION NUMBER: 1979:137763 HCAPLUS Full-text  
 DOCUMENT NUMBER: 90:137763  
 ORIGINAL REFERENCE NO.: 90:21845a,21848a  
 TITLE: Synthesis of 2,6,9-trisubstituted 7H-purin-8-ones  
 AUTHOR(S): Robev, S.  
 CORPORATE SOURCE: Med. Fak., Sofia, Bulg.  
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(9), 1131-4  
 CODEN: DBANAD; ISSN: 0366-8681

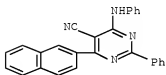
DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 OTHER SOURCE(S): CASREACT 90:137763  
 GI



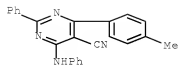
- AB The title compds. I (R1 = (un)substituted Ph, R2 = (un)substituted Ph, 2-naphthyl; R3 = (un)substituted Ph, 1- or 2-naphthyl, 2-pyridyl] were prepared in 42-90 % yields from pyrimidinecarbonitriles II by hydration with polyphosphoric acid followed by cyclization in the presence of NaOCl-KOH. I (R1 = R2 = R3 = Ph, R1 = Ph, R2 = 4-FC6H4, R3 = 4-MeC6H4) are effective as inhibitors of Sarcoma-180 Kroker in mice at 180 mg/kg and 150 mg/kg, resp.
- IT 64499-00-9 64499-01-0 64499-32-7  
 64530-27-4 67677-96-7 67677-97-8  
 69728-70-7 69728-84-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (hydration of)
- RN 64499-00-9 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 4-(1-naphthalenyl)-2-phenyl-6-(phenylamino)-  
 (CA INDEX NAME)



- RN 64499-01-0 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 4-(2-naphthalenyl)-2-phenyl-6-(phenylamino)-  
 (CA INDEX NAME)

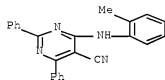


- RN 64499-32-7 HCAPLUS
- CN 5-Pyrimidinecarbonitrile, 4-(4-methylphenyl)-2-phenyl-6-(phenylamino)-  
 (CA INDEX NAME)



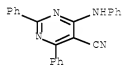
RN 64530-27-4 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(2-methylphenyl)amino]-2,6-diphenyl- (CA INDEX NAME)



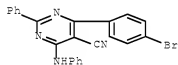
RN 67677-96-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylamino)- (CA INDEX NAME)



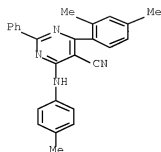
RN 67677-97-8 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-bromophenyl)-2-phenyl-6-(phenylamino)- (CA INDEX NAME)



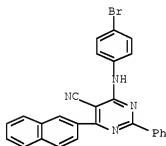
RN 69728-70-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(2,4-dimethylphenyl)-6-[(4-methylphenyl)amino]-2-phenyl- (CA INDEX NAME)



RN 69728-84-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(4-bromophenyl)amino]-6-(2-naphthalenyl)-2-phenyl- (CA INDEX NAME)



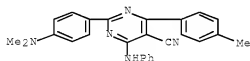
IT 69728-71-8P 69728-72-9P 69728-83-2P

69728-85-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and hydration of)

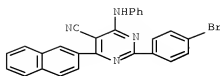
RN 69728-71-8 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-[4-(dimethylamino)phenyl]-4-(4-methylphenyl)-6-(phenylamino)- (CA INDEX NAME)



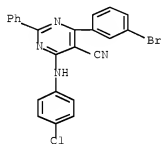
RN 69728-72-9 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(4-bromophenyl)-4-(2-naphthalenyl)-6-(phenylamino)- (CA INDEX NAME)



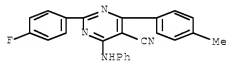
RN 69728-83-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-((3-bromophenyl)amino)-6-((4-chlorophenyl)amino)-2-phenyl- (CA INDEX NAME)



RN 69728-85-4 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-((4-fluorophenyl)amino)-4-((4-methylphenyl)amino)-6-phenylamino- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

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(FILE 'REGISTRY' ENTERED AT 09:50:19 ON 14 AUG 2010)

L1 STR  
 L3 173813 SEA SSS FUL L1  
 L8 STR  
 L15 7677 SEA SUB=L3 SSS FUL L8  
 L16 STR  
 L18 STR  
 L19 1520 SEA SUB=L15 SSS FUL L8 NOT (L16 OR L18)  
 L20 STR  
 L21 338 SEA SUB=L19 SSS FUL L20

FILE 'HCAPLUS' ENTERED AT 10:22:56 ON 14 AUG 2010

L22 104 SEA ABB=ON PLU=ON L21  
 L23 86 SEA ABB=ON PLU=ON L22 AND (AY=<2006 OR PY=<2006 OR PRY=<2006  
 OR PD=<JUNE 8, 2006)  
 L24 12 SEA ABB=ON PLU=ON L23 AND (?DRUG? OR ?PHARM? OR ?MEDIC? OR  
 ?THERAP?)  
 D STAT QUE L24  
 D IBIB ABS HITSTR L24 1-12

FILE 'REGISTRY' ENTERED AT 10:28:20 ON 14 AUG 2010

L25 STR L8  
 L26 309 SEA SUB=L21 SSS FUL L25

FILE 'HCAPLUS' ENTERED AT 10:29:47 ON 14 AUG 2010

L27 95 SEA ABB=ON PLU=ON L26  
 L28 77 SEA ABB=ON PLU=ON L27 AND (AY=<2006 OR PY=<2006 OR PRY=<2006  
 OR PD=<JUNE 8, 2006)  
 L29 66 SEA ABB=ON PLU=ON L28 NOT L24  
 L30 4 SEA ABB=ON PLU=ON L29 AND THU/RL  
 D STAT QUE L30  
 D IBIB ABS HITSTR L30 1-4

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